=> file caplus
FILE 'CAPLUS' ENTERED AT 13:22:06 ON 16 JUL 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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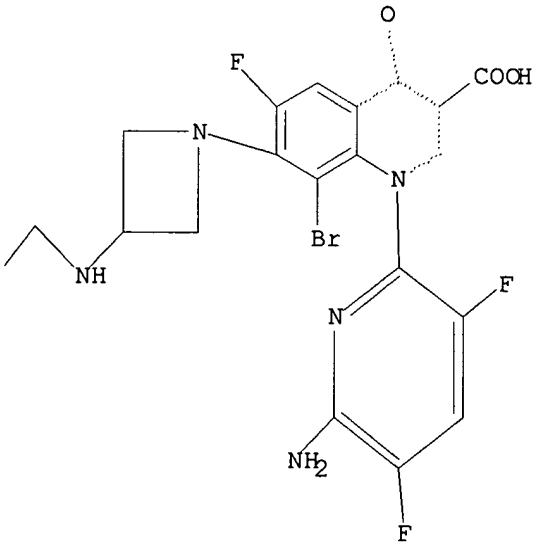
FILE COVERS 1907 - 16 Jul 2002 VOL 137 ISS 3
FILE LAST UPDATED: 15 Jul 2002 (20020715/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 2 SEA FILE=REGISTRY SSS FUL L1
L4 1 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:31494 CAPLUS

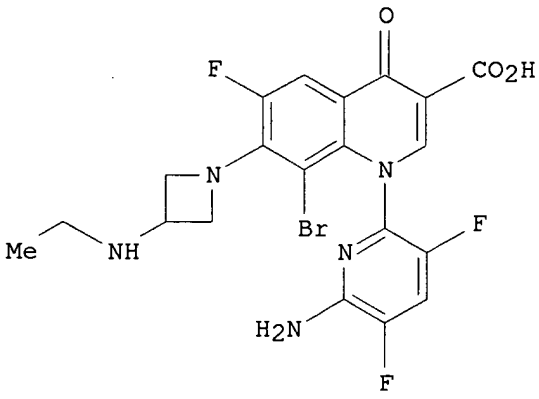
applicants

DOCUMENT NUMBER: 134:86172
TITLE: Preparation and effect of quinolinecarboxylic acid derivative or salts as antibacterial agents
INVENTOR(S): Yazaki, Akira; Niino, Yoshiko; Kuramoto, Yasuhiro; Hirao, Yuzo; Oshita, Yoshihiro; Hayashi, Norihiro; Amano, Hirotaka
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002390	A1	20010111	WO 2000-JP4096	20000622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1193266	A1	20020403	EP 2000-940804	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000012192	A	20020618	BR 2000-12192	20000622
NO 2001006378	A	20020228	NO 2001-6378	20011227

PRIORITY APPLN. INFO.: JP 1999-187492 A 19990701
WO 2000-JP4096 W 20000622

GI

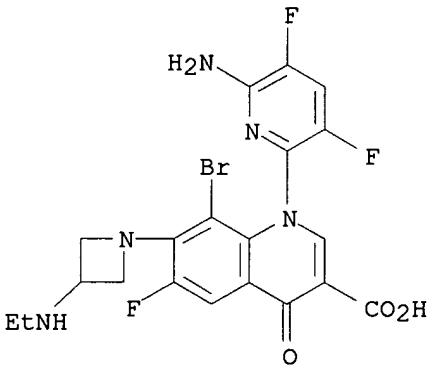


I

AB The title compd. I and salts were prepd. The title compd. I was characterized by, when orally administered, showing a long half-life in blood while sustaining an extremely high antibacterial effect and a low toxicity, and having an extremely high bioavailability. Thus, title compd. I is widely usable as preventives and remedies for various infectious diseases in humans and animals.

IT **318269-49-7P 318269-50-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of quinolinecarboxylic acid deriv. or salts as antibacterial agents)

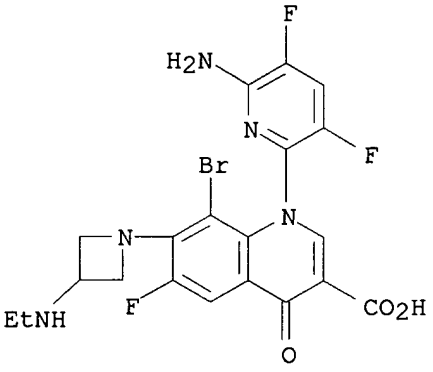
RN 318269-49-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-bromo-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 318269-50-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-bromo-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

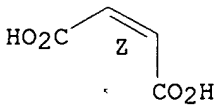
CRN 318269-49-7
CMF C20 H17 Br F3 N5 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

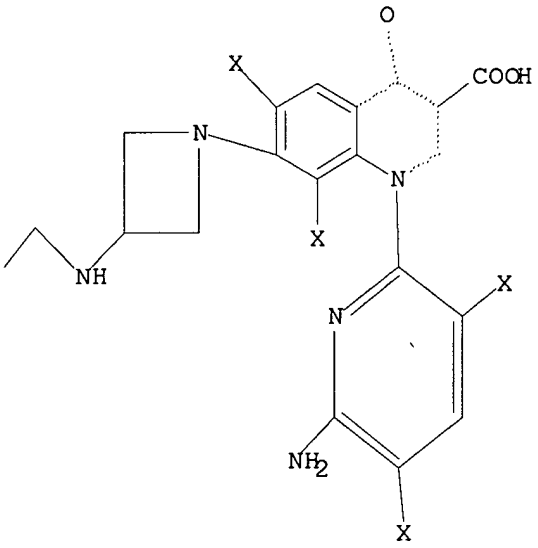
=> file caplus
FILE 'CAPLUS' ENTERED AT 13:24:20 ON 16 JUL 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 Jul 2002 VOL 137 ISS 3
FILE LAST UPDATED: 15 Jul 2002 (20020715/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d que
L5 STR



Structure attributes must be viewed using STN Express query preparation.
L6 3 SEA FILE=REGISTRY SSS FUL L5
L7 2 SEA FILE=CAPLUS L6

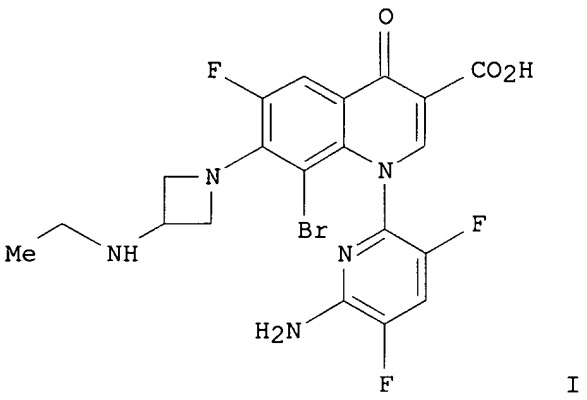
=> d l7 1-2 ibib abs hitstr

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:31494 CAPLUS
DOCUMENT NUMBER: 134:86172
TITLE: Preparation and effect of quinolinecarboxylic acid derivative or salts as antibacterial agents
INVENTOR(S): Yazaki, Akira; Niino, Yoshiko; Kuramoto, Yasuhiro; Hirao, Yuzo; Oshita, Yoshihiro; Hayashi, Norihiro; Amano, Hirotaka
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002390	A1	20010111	WO 2000-JP4096	20000622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1193266	A1	20020403	EP 2000-940804	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

BR 2000012192	A	20020618	BR 2000-12192			20000622
NO 2001006378	A	20020228	NO 2001-6378			20011227
PRIORITY APPLN. INFO.:			JP 1999-187492	A		19990701
			WO 2000-JP4096	W		20000622

GI



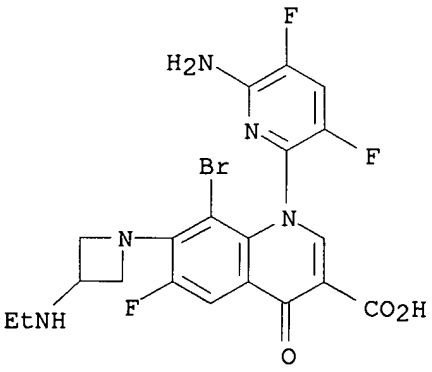
I

AB The title compd. I and salts were prepd. The title compd. I was characterized by, when orally administered, showing a long half-life in blood while sustaining an extremely high antibacterial effect and a low toxicity, and having an extremely high bioavailability. Thus, title compd. I is widely usable as preventives and remedies for various infectious diseases in humans and animals.

IT **318269-49-7P 318269-50-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of quinolinecarboxylic acid deriv. or salts as antibacterial agents)

RN 318269-49-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-bromo-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



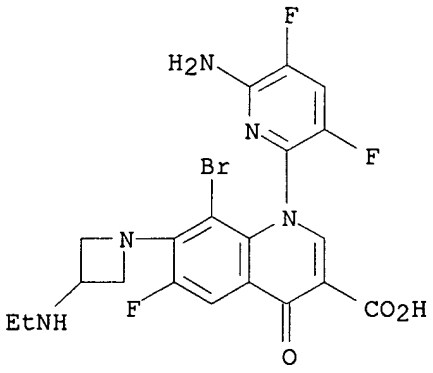
RN 318269-50-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-bromo-7-

[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

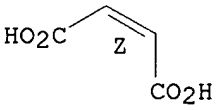
CRN 318269-49-7
CMF C20 H17 Br F3 N5 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS ✓
ACCESSION NUMBER: 1997:332364 CAPLUS
DOCUMENT NUMBER: 126:305587
TITLE: Properation of novel pyridonecarboxylic acid derivatives as antibacterial agents
INVENTOR(S): Yazaki, Akira; Niino, Yoshiko; Ohshita, Yoshihiro; Hirao, Yuzo; Amano, Hirotaka; Hayashi, Norihiro; Kuramoto, Yasuhiro
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan; Yazaki, Akira; Niino, Yoshiko; Ohshita, Yoshihiro; Hirao, Yuzo; Amano, Hirotaka; Hayashi, Norihiro; Kuramoto, Yasuhiro
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711068	A1	19970327	WO 1996-JP2710	19960920
W: AU, BR, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2232728	AA	19970327	CA 1996-2232728	19960920
AU 9670016	A1	19970409	AU 1996-70016	19960920
AU 707565	B2	19990715		
CN 1201459	A	19981209	CN 1996-198104	19960920
EP 911327	A1	19990428	EP 1996-931264	19960920
EP 911327	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9610485	A	19990727	BR 1996-10485	19960920
EP 952151	A2	19991027	EP 1999-111114	19960920
EP 952151	A3	20010801		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11322715	A2	19991124	JP 1999-107671	19960920
EP 992501	A2	20000412	EP 1999-124494	19960920
EP 992501	A3	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3103380	B2	20001030	JP 1997-512602	19960920
RU 2167873	C2	20010527	RU 1998-107259	19960920
RU 2171252	C2	20010727	RU 1999-117921	19960920
AT 210129	E	20011215	AT 1996-931264	19960920
US 5998436	A	19991207	US 1998-43472	19980320
AU 9931227	A1	19990812	AU 1999-31227	19990524
AU 721805	B2	20000713		
US 6133284	A	20001017	US 1999-329246	19990610
US 6156903	A	20001205	US 1999-329336	19990610
AU 727457	B2	20001214	AU 1999-50144	19990924
AU 9950144	A1	20000330		
JP 2000136191	A2	20000516	JP 1999-285235	19991006
JP 3187795	B2	20010711		
CN 1258672	A	20000705	CN 1999-123310	19991022
CN 1258674	A	20000705	CN 1999-123311	19991022

PRIORITY APPLN. INFO.:	JP 1995-269280	A	19950922
	JP 1996-178462	A	19960619
	AU 1996-70016	A3	19960920
	EP 1996-931264	A3	19960920
	JP 1997-512602	A3	19960920
	WO 1996-JP2710	W	19960920

OTHER SOURCE(S): MARPAT 126:305587

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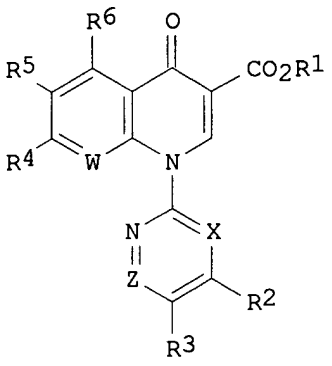

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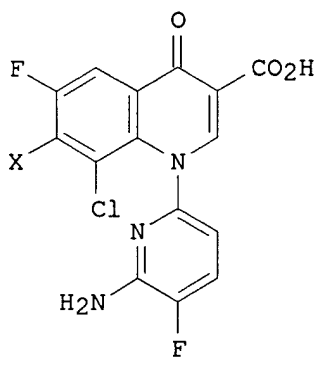


II

AB The title compds. (I; R1 = H, carboxyl protecting group; R2 = OH, lower alkoxy, optionally substituted amino; R3, R4 = H, halo; R5 = optionally halogenated or substituted satd. cyclic amino; R6 = H, halo, NO2, optionally protected amino; X, Y, and Z = N, :CH, :CR7; R7 = lower alkyl, halo, cyano, provided that at least one of X, Y, and Z = N; W = N, :CR8; R8 = H, halo, lower alkyl) are prepd. I are useful as antibacterial, antiviral, and anti-HIV agents. Thus, quinoline deriv. (II; X = F) was reacted with 3-aminoazetidine.2HCl in the presence of N-methylpyrrolidine to give the title compd. II (X = 3-aminoazetidin-1-yl), which showed MIC of < 0.013, 0.025, and 0.05 .mu.g/mL antibacterial effect for S. aureus, S. epidermidis, and P. aeruginosa resp.

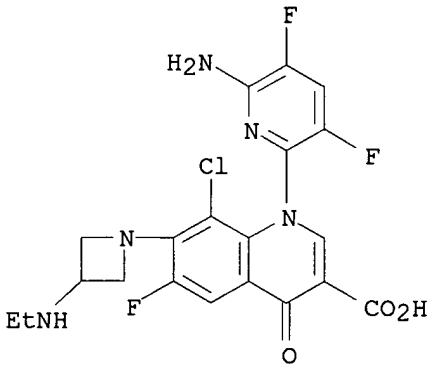
IT **189280-18-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189280-18-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-chloro-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



=> file uspatall
FILE 'USPATFULL' ENTERED AT 13:24:59 ON 16 JUL 2002

FILE 'USPAT2' ENTERED AT 13:24:59 ON 16 JUL 2002

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L8 3 L6

=> d l8 1-3 ibib abs hitstr

L8 ANSWER 1 OF 3 USPATFULL

ACCESSION NUMBER: 2000:164659 USPATFULL
TITLE: Pyridonecarboxylic acid derivatives or their salts, and antibacterial agents containing the same as their effective components
INVENTOR(S): Yazaki, Akira, Takata-gun, Japan
Niino, Yoshiko, Takata-gun, Japan
Ohshita, Yoshihiro, Takata-gun, Japan
Hirao, Yuzo, Takata-gun, Japan
Amano, Hirotaka, Takata-gun, Japan
Hayashi, Norihiro, Takata-gun, Japan
Kuramoto, Yasuhiro, Takata-gun, Japan
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6156903		20001205	
APPLICATION INFO.:	US 1999-329336		19990610	(9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472			

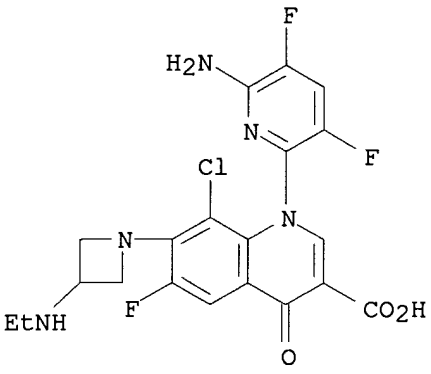
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Seaman, D. Margaret
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 3385
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an antibacterial agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189280-18-0P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189280-18-0 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-chloro-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER:	2000:138370 USPATFULL
TITLE:	Pyridonecarboxylic acid derivatives or their salts, and antibacterial agents containing the same as their effective components
INVENTOR(S):	Yazaki, Akira, Hiroshima-ken, Japan Niino, Yoshiko, Hiroshima-ken, Japan Ohshita, Yoshihiro, Hiroshima-ken, Japan Hirao, Yuzo, Hiroshima-ken, Japan Amano, Hirotaka, Hiroshima-ken, Japan Hayashi, Norihiro, Hiroshima-ken, Japan Kuramoto, Yasuhiro, Hiroshima-ken, Japan
PATENT ASSIGNEE(S):	Wakunaga Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6133284		20001017	
APPLICATION INFO.:	US 1999-329246		19990610	(9)
RELATED APPLN. INFO.:	Division of Ser. No. US 43472			

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1995-269280	19950922
	JP 1996-178462	19960619

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Seaman, D. Margaret
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS:	3
EXEMPLARY CLAIM:	1
LINE COUNT:	3267

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an

optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)]

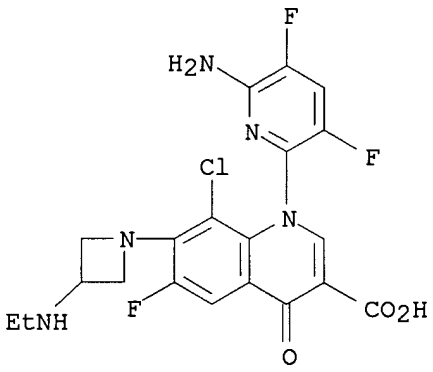
or its salt, as well as an antibacterial agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **189280-18-0P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189280-18-0 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-chloro-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 3 OF 3 USPATFULL

ACCESSION NUMBER:	1999:160052 USPATFULL
TITLE:	Pyridonecarboxylic acid derivatives or their salts and antibacterial agent comprising the same as the active ingredient
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PATENT ASSIGNEE(S):	Wakunaga Pharmaceuticals Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridonecarboxylic acid derivative represented by the following general formula (1): ##STR1## [wherein R.sup.1 represents hydrogen atom or a carboxyl protective group; R.sup.2 represents hydroxyl group, a lower alkoxy group, or a substituted or unsubstituted amino group; R.sup.3 represents hydrogen atom or a halogen atom; R.sup.4 represents hydrogen atom or a halogen atom; R.sup.5 represents a halogen atom or an optionally substituted saturated cyclic amino group; R.sup.6 represents hydrogen atom, a halogen atom, nitro group, or an optionally protected amino group; X, Y and Z may be the same or different and respectively represent nitrogen atom, --CH.dbd. or --CR.sup.7 .dbd. (wherein R.sup.7 represents a lower alkyl group, a halogen atom, or cyano group) (with the proviso that at least one of X, Y and Z represent the nitrogen atom), and W represents nitrogen atom or --CR.sup.8 .dbd. (wherein R.sup.8 represents hydrogen atom, a halogen atom, or a lower alkyl group)] or its salt, as well as an antibacterial agent containing such compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **189280-18-0P**
(properation of novel pyridonecarboxylic acid derivs. as antibacterial agents)

RN 189280-18-0 USPATFULL

CN 3-Quinolinecarboxylic acid, 1-(6-amino-3,5-difluoro-2-pyridinyl)-8-chloro-7-[3-(ethylamino)-1-azetidinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)